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FILE 'HOME' ENTERED AT 08:29:27 ON 22 MAY 2004

=> fil reg		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
FULL ESTIMATED COST		0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:29:51 ON 22 MAY 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2  
DICTIONARY FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2

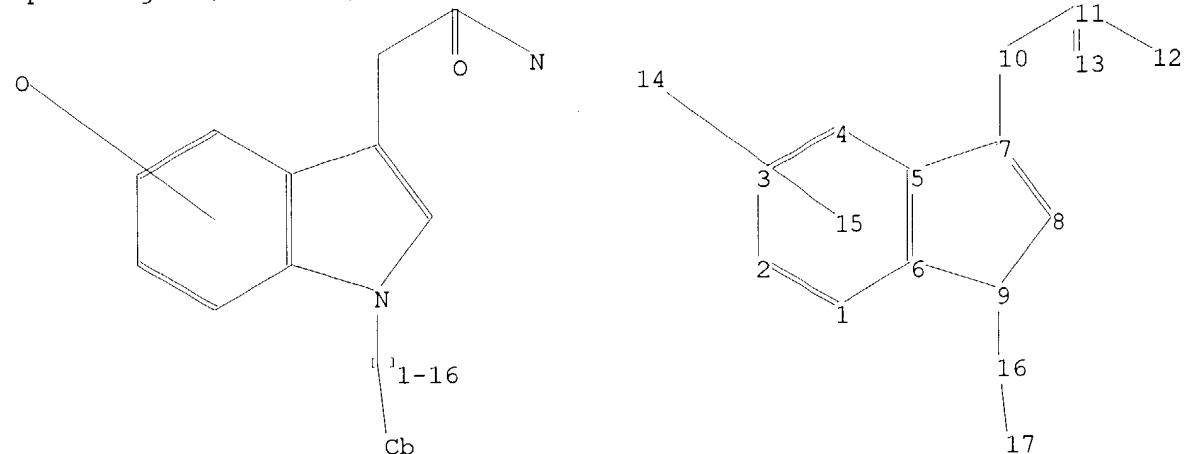
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\STNEXP4\QUERIES\10629992.str

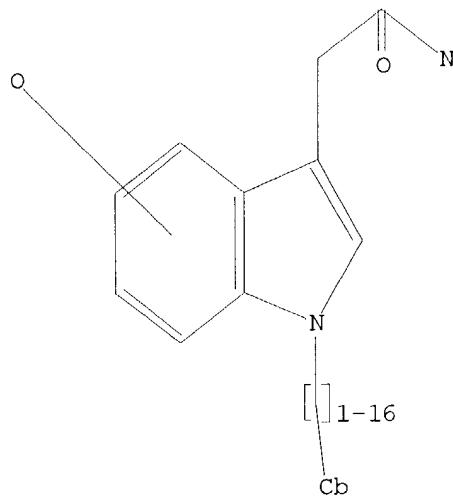


7-10 10-11 16-17  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom

L1 STRUCTURE UPLOADED

=> d  
L1 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11  
SAMPLE SEARCH INITIATED 08:30:07 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 457 TO ITERATE

100.0% PROCESSED 457 ITERATIONS 46 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 7858 TO 10422  
PROJECTED ANSWERS: 514 TO 1326

L2 46 SEA SSS SAM L1

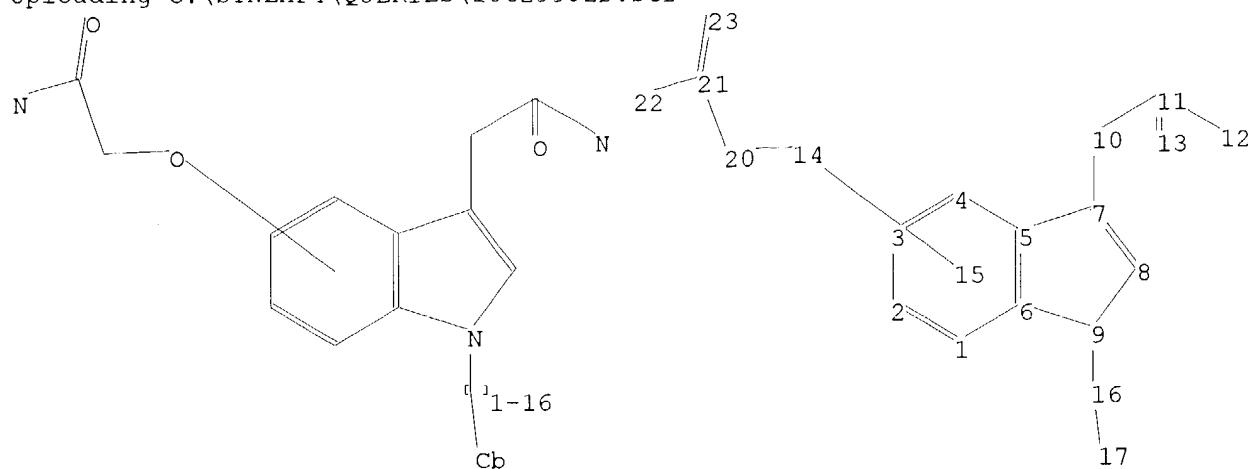
=> s 11 full  
FULL SEARCH INITIATED 08:30:10 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 8961 TO ITERATE

100.0% PROCESSED 8961 ITERATIONS 1067 ANSWERS  
SEARCH TIME: 00.00.01

L3 1067 SEA SSS FUL L1

=>

Uploading C:\STNEXP4\QUERIES\10629992b.str



chain nodes :

10 11 12 13 14 16 17 20 21 22 23

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

7-10 9-16 10-11 11-12 11-13 14-20 16-17 20-21 21-22 21-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9

exact/norm bonds :

5-7 6-9 7-8 8-9 9-16 11-12 11-13 14-20 21-22 21-23

exact bonds :

7-10 10-11 16-17 20-21

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

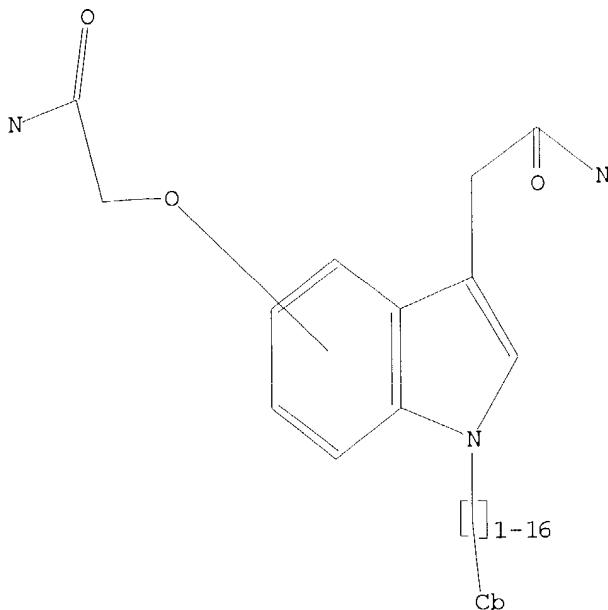
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 20:CLASS  
21:CLASS 22:CLASS 23:CLASS

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14 subset=13 full

FULL SUBSET SEARCH INITIATED 08:31:23 FILE 'REGISTRY'  
FULL SUBSET SCREEN SEARCH COMPLETED - 93 TO ITERATE

100.0% PROCESSED 93 ITERATIONS  
SEARCH TIME: 00.00.01

62 ANSWERS

L5 62 SEA SUB=L3 SSS FUL L4

=> s 15 and caplus/lc  
35440044 CAPLUS/LC  
L6 62 L5 AND CAPLUS/LC

	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	197.34	197.55

FILE 'CAPLUS' ENTERED AT 08:31:32 ON 22 MAY 2004  
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FILE COVERS 1907 - 22 May 2004 VOL 140 ISS 22  
FILE LAST UPDATED: 21 May 2004 (20040521/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s 16  
L7 16 L6

=> d ibib abs hitstr 1-16

L7 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002736140 CAPLUS  
 DOCUMENT NUMBER: 137:242179  
 TITLE: Remedies for arteriosclerosis  
 INVENTOR(S): Saiga, Akihiko; Ono, Takashi; Yamada, Katsutoshi; Hanasaki, Kohji  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 83 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074342	A1	20020926	WO 2002-JP2585	20020319
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MU, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TZ, UA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1378246	A1	20040107	EP 2004-705327	20020319
R:	AT, BE, CH, DE, DK, ES, FR, GE, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002008275	A	20040413	BR 2002-8275	20020319
JP 2001-78569	A	20010319		
JP 2001-401289	A	20011228		
WO 2002-JP2585	W	20020319		

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 137:242179

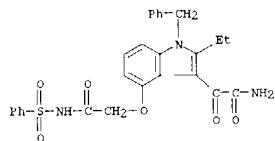
AB Novel remedies and preventives for arteriosclerosis which are characterized by treating or preventing arteriosclerosis with the use of V type and/or X type sPLA2 inhibitors.

IT 258262-50-9

RL: DNA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (remedies for arteriosclerosis)

RN 258262-50-9 CAPLUS

CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2002487526 CAPLUS  
 DOCUMENT NUMBER: 137:147112  
 TITLE: Novel sPLA2 inhibitors  
 INVENTOR(S): Beight, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Rickett, Michael; Enrico; Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 174 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050030	A2	20020627	WO 2001-US43187	20011206
WO 2002050030	C1	20031113		
WO 2002050030	A3	20020912		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, FI, GR, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
EP 1349834	A2	20031008	EP 2001-984924	20011206
R:	AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004077704	A1	20040422	US 2003-450741	20030616
PRIORITY APPLN. INFO.:			US 2000-256397	F 20001218
			WO 2001-US43187	W 20011206

OTHER SOURCE(S): MARPAT 137:47112

AB A novel class of cycloalkyl fused indole compds. is disclosed together using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory Diseases such as septic shock. Approx. 20 cyclopent- and cyclohexindolines were prepared in several steps by standard methods and were tested as inhibitors of sPLA2. E.g., 2-[4-(2-benzenesulfonylamino-2-oxoethoxy)-1-benzyl-2-methyl-1,6,7,8-tetrahydro-1-aza-az-indaceno-3-yl]-2-oxoacetamide, 2-[(3-(2-amino-1,2-dioxoethyl)-2-methyl-1-(2-fluorobenzyl)-1,6,7,8-tetrahydrocyclopent-1-ylindol-4-yl)oxy]acetic acid, and 2-[(3-(2-amino-1,2-dioxoethyl)-1-benzyl-2-methyl-1,6,7,8-tetrahydro-1H-benz[1,2-d]indol-4-yl)oxy]acetic acid exhibited IC50 values of 0.007, 0.009 and 0.010  $\mu$ M, resp.

IT 438623-60-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of cycloalkyl fused indoles as sPLA2 inhibitors)

RN 438623-60-0 CAPLUS

CN Cyclopent-1-ylindole-3-acetamide, 1,6,7,8-tetrahydro-2-methyl- $\alpha$ -oxo-4-(2-oxo-2-[(phenylsulfonyl)amino]ethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

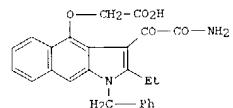
L7 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

The chemical structure is a complex indole derivative. It features an indole ring with a 2-ethyl-α-oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- group attached at the 3-position. The indole ring is substituted with a phenylsulfonyl group at the 1-position. The side chain includes an ethyl group, a carbonyl group, and an amino group.

L7 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 20021487524 CAPLUS  
 DOCUMENT NUMBER: 137:47110  
 TITLE: Novel sPLA2 inhibitors  
 INVENTOR(S): Wright, Douglas Wade; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Richett, Michael; Enicos, Sall, Daniel Jon; Sawyer, Jason Scott; Smith, Edward C. R.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050028	A2	20020627	WO 2001-0543184	20011206
WO 2002050028	A3	20020919		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, EZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, ME, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, EZ, CA, CH, CY, DE, DK, ES, FI, FR, GE, GR, IE, IT, LU, MC, NL, PT, SE, TR, FF, RU, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002037655	A5	20020701	AU 2002-37655	20011206
EP 1349832	A2	20031008	EP 2001-986458	20011206
R:	AT, BE, CH, DE, DK, ES, FR, GR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2004059130	A1	20040325	US 2003-450929	20030616
PRIORITY APPLN. INFO.:			US 2000-256281P	P 20001218
			WO 2001-US43184	W 20011206

OTHER SOURCE(S): MARPAT 137:47110  
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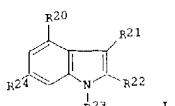


AB A novel class of benzofuran compds. is disclosed together using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of Inflammatory Diseases such as septic shock. Thus, benzofuran I, prepared

L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 200210441 CAPLUS  
 DOCUMENT NUMBER: 136:69735  
 TITLE: Preparation of heterocyclic compounds as X-type sPLA2 inhibitors  
 INVENTOR(S): Ogawa, Tomoyuki; Seno, Kaoru; Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 87 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

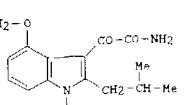
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000621	A1	20020103	WO 2001-JP5479	20010627
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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, EZ, CA, CH, CY, DE, DK, ES, FI, FR, GE, GR, IE, IT, LU, MC, NL, PT, SE, TR, FF, RU, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001067823	A5	20020108	AU 2001-67823	20010627
US 2003181454	A1	20030925	US 2002-311282	20021217
PRIORITY APPLN. INFO.:			JP 2000-195430	A 20000629
			WO 2001-JP5479	W 20010627

OTHER SOURCE(S): MARPAT 136:69735  
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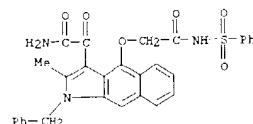
AB The title compds., e.g. I [R20 is OCH2COOH or the like; R21 is COCONH2 or the like; R22 is C4-6 alkyl; R23 is CH2R18 (wherein R18 is aryl or the like); and R24 is hydrogen or C1-6 alkyl], are prepared. The title compound

II



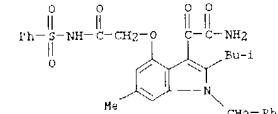
L7 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 in several steps by std. methods, exhibited an inhibition value IC50 of 1.06  $\mu$ M against sPLA2.

IT 438587-51-0 CAPLUS  
 NL: STN (Synthetic preparation); PREP (Preparation)  
 (preparation of benzindoles as sPLA2 inhibitors)  
 RN 438587-51-0 CAPLUS  
 CN 1H-Benzofindole-3-acetamide, 2-methyl- $\alpha$ -oxo-4-[2-oxo-2-((phenylsulfonyl)amino)ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

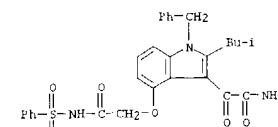


L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 in vitro showed IC50 of 0.008  $\mu$ M against X-type sPLA2. Formulations are given.

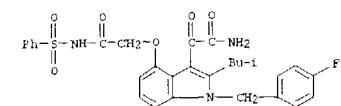
IT 383860-24-0 383860-30-0P 383860-31-9P  
 383860-32-0P 383860-33-1P 383860-34-2P  
 383860-35-3P 383860-36-4P 383860-37-5P  
 383860-38-5P 383860-40-0P 383860-41-1P  
 383860-44-4P  
 RL: PAC (Pharmacological activity); STN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclic compds. as X-type sPLA2 inhibitors)  
 RN 383860-24-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)- $\alpha$ -oxo-4-[2-oxo-2-((phenylsulfonyl)amino)ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 383860-30-8 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)- $\alpha$ -oxo-4-[2-oxo-2-((phenylsulfonyl)amino)ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

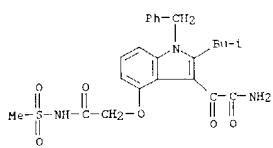


RN 383860-31-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 1-[(4-fluorophenyl)methyl]-2-(2-methylpropyl)- $\alpha$ -oxo-4-[2-oxo-2-((phenylsulfonyl)amino)ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

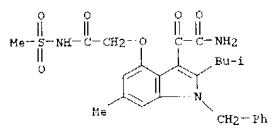


RN 383860-32-0 CAPLUS

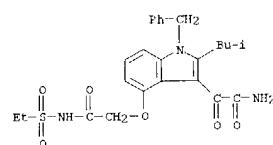
L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 383860-33-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 6-methyl-2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

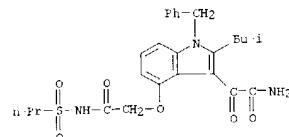


RN 383860-34-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-[(ethylsulfonyl)amino]-2-oxoethoxy]-2-(2-methylpropyl)- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

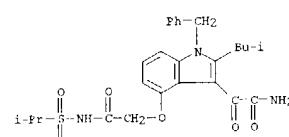


RN 383860-35-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)- $\alpha$ -oxo-4-[2-oxo-2-[(propylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

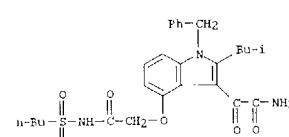
L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 383860-36-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-[(1-methylethylsulfonyl)amino]-2-oxoethoxy]-2-(2-methylpropyl)- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

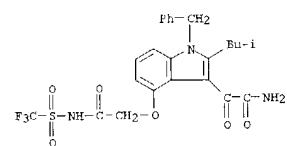


RN 383860-37-5 CAPLUS  
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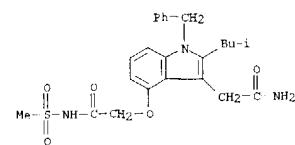


RN 383860-38-6 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)- $\alpha$ -oxo-4-[2-oxo-2-[(trifluoromethyl)sulfonyl]amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

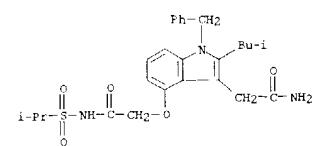
L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 383860-40-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

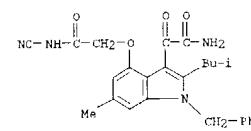


RN 383860-41-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-[(1-methylethylsulfonyl)amino]-2-oxoethoxy]-2-(2-methylpropyl)- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

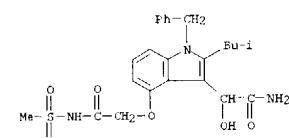


RN 383860-44-4 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-(cyanoamino)-2-oxoethoxy]-6-methyl-2-(2-methylpropyl)- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 383860-72-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of heterocyclic compds. as X-type cPLA2 inhibitors)  
 RN 383860-72-8 CAPLUS  
 CN 1H-Indole-3-acetamide,  $\alpha$ -hydroxy-2-(2-methylpropyl)-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



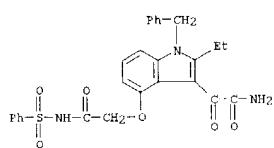
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 200210308 CAPLUS  
 DOCUMENT NUMBER: 136:64151  
 TITLE: Secretory PLA2 inhibitors as remedies for Alzheimer's disease  
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  
 WO 2002000257 A1 20020103 WO 2001-JP5482 20010627  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, FR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.: MARPAT 136:64151  
 OTHER SOURCE(S): JP 2000-195445 A 20000629

AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating Alzheimer's disease.

IT 258262-50-9  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (secretory PLA2 inhibitors as remedies for Alzheimer's disease)  
 RN 258262-50-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



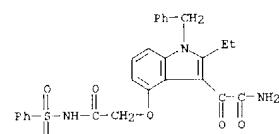
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 200210307 CAPLUS  
 DOCUMENT NUMBER: 136:64164  
 TITLE: Remedies for cirrhosis  
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 45 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  
 WO 2002000256 A1 20020103 WO 2001-JP5481 20010627  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, FR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.: MARPAT 136:64164  
 OTHER SOURCE(S):

AB It is found out that type X sPLA2 inhibitors are useful in preventing or treating cirrhosis.

IT 258262-50-9  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (secretory PLA2 inhibitors as remedies for cirrhosis)  
 RN 258262-50-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 200210306 CAPLUS  
 DOCUMENT NUMBER: 136:64112  
 TITLE: Remedies for cancer  
 INVENTOR(S): Hanasaki, Kohji; Ikeda, Minoru; Ono, Takashi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

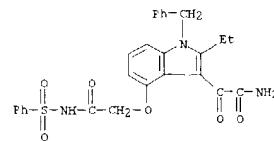
PATENT NO. KIND DATE APPLICATION NO. DATE  
 WO 2002000255 A1 20020103 WO 2001-JP5480 20010627  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, FR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 AU 2001067824 A5 20020108 AU 2001-67824 20010627  
 EP 1300159 A1 20030409 EP 2001-945613 20010627  
 R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MW, CY, AL, TR  
 US 2004077651 A1 20040422 US 2002-312451 20021227  
 PRIORITY APPLN. INFO.: JP 2000-195434 A 20000628  
 WO 2001-JP5480 W 20010627

OTHER SOURCE(S): MARPAT 136:64112  
 AB It is found out that type X secretory PLA2 inhibitors are useful in preventing or treating cancer.

IT 258262-50-9  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (type X secretory PLA2 inhibitors as remedies for cancer)

RN 258262-50-9 CAPLUS

CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

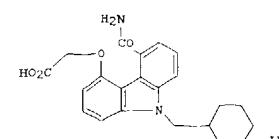
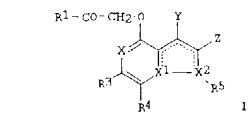


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001233786 CAPLUS  
 DOCUMENT NUMBER: 134:290409  
 TITLE: Preparation of V type and/or X type sPLA2 inhibitors  
 INVENTOR(S): Ono, Takashi; Ueno, Masahiko; Hanasaki, Kohji  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE  
 WO 2001026653 A1 20010419 WO 2000-JP7024 20001010  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, ER, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, GS, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 PRIORITY APPLN. INFO.: MARPAT 134:290409  
 OTHER SOURCE(S):

AB It is found out that type X secretory PLA2 inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CH<sub>2</sub>, N; X<sub>1</sub> = C, N; X<sub>2</sub> = C, N; Y = R<sub>6</sub>; Z = R<sub>7</sub>; Y<sub>2</sub> = C(=O)NR<sub>1</sub>:CH(R<sub>2</sub>)-CH(R<sub>3</sub>)-R<sub>4</sub>; R<sub>1</sub> = OH, NHCO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> independently = H, CH<sub>3</sub>, COH<sub>5</sub>, F; R<sub>5</sub> =



AB V type and/or X type sPLA2 inhibitors which contain as the active ingredient compds. represented by general formulas [I; X = CH<sub>2</sub>, N; X<sub>1</sub> = C, N; X<sub>2</sub> = C, N; Y = R<sub>6</sub>; Z = R<sub>7</sub>; Y<sub>2</sub> = C(=O)NR<sub>1</sub>:CH(R<sub>2</sub>)-CH(R<sub>3</sub>)-R<sub>4</sub>; R<sub>1</sub> = OH, NHCO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>; R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> independently = H, CH<sub>3</sub>, COH<sub>5</sub>, F; R<sub>5</sub> =

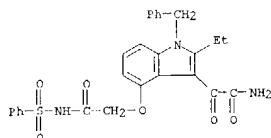
L7 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 4-C6H5C6H4CH2, C6H5CH2, cyclohexylmethyl, 2-cyclopentylphenyl; R6 = H, Cl-3-alkyl; R7 = CONH2, (CH2CONH2); dotted bond = single, double, prodrugs thereof, and pharmaceutically acceptable salts of the same or solvates of the same are prepd. as V type and/or X type sPLA2 inhibitors. Thus, the title compd. II was prepd. and tested for X type sPLA2 inhibition with an IC50 of 3 nM.

IT 258262-50-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of V type and/or X type sPLA2 inhibitors)

RN 258262-50-9 CAPLUS

CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl) - (9CI) (CA INDEX NAME)

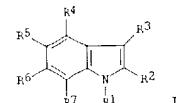


REFERENCE COUNT: 64 THERE ARE 64 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 2001:228857 CAPLUS  
 134:252258 Preparation of indole derivatives as human non-pancreatic secretory phospholipase A2 (sPLA2) inhibitors  
 Harper, Richard Waltz; Lin, Ho-Shen; Rickett, Michael  
 Enrico  
 Eli Lilly and Company, USA  
 PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 Document Type: Patent  
 Language: English  
 Family Acc. Num. Count: 1  
 Patent Information:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021587	A2	20010329	WO 2000-US20816	20000907
WO 2001021587	A3	20011011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CZ, CA, CH, CN, CR, CU, DE, DK, DM, DZ, EE, ES, FI, GR, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, YZ, MD, RU, TJ, TH, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, GR, IE, IT, LU, MC, NL, PT, SE, BF, RJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1220839	A2	20020710	EP 2000-559170	20000907
R: AT, BE, CH, DE, DK, ES, FR, GE, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, NO, MK, CY, AL				
JP 2003509491	T2	20030311	JP 2001-524967	20000907
US 20040229948	A1	20040212	US 2003-629992	20030730
PRIORITY APPLN. INFO.:			US 1999-154836P	F 19990920
			WO 2000-US20816	W 20000907
			US 2002-69824	A3 20020221

OTHER SOURCE(S): MARPAT 134:252258  
 GI



AB A class of novel indole represented by formula (I); R1 is selected from groups (a), (b), and (c) wherein: (a) is C7-20 alkyl, C7-20 haloalkyl, C7-20 alkenyl, C7-20 alkynyl, carbocyclic radical, or heterocyclic

L7 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 radical, or (b) is a member of (a) substituted with one or more independently selected non-interfering substituents; or (c) is (a) or (b) group linked to a divalent linking group of 1 to 8 atoms; R2 is hydrogen, or a group contg. 1 to 4 nonhydrogen atoms plus any required hydrogen atoms; R3 is -(L3)-2, where (L3) is a divalent linker group selected from a bond or a divalent group selected from: CH2, O, S, NH, CO and Z is selected from a group represented by the formulas, C(=NORA)C(=X)NH2, C(=X)CONH2, C(Ra)2C(=X)NH2 or wherein, X is oxygen or sulfur; and Ra is selected from hydrogen, Cl-8 alkyl, aryl, Cl-8 alkaryl, Cl-8 alkoxyl, aralkyl and cyanor; R4 is the group, -(Lh)-(hydroxyfunctional amide), wherein (Lh), is an hydroxyfunctional amide linker length of 1 to 8; R5 is selected from hydrogen, a non-interfering substituent, or the group, -(La)-(acidic group) wherein -(La)-, is an acid linker having an acid linker length of 1 to 8; R6 and R7 are selected from hydrogen, non-interfering substituent, carbocyclic radical, carbocyclic radical substituted with non-interfering substituent(s), heterocyclic radical, and heterocyclic radical substituted with non-interfering substituent(s) is prepd. These compds. substituted with non-interfering substituent(s) is prepd. These compds. inhibit sPLA2-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, [(1-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid Me ester was condensed with O-phenylhydroxylamine hydrochloride in the presence of collidine and benzotriazol-1-ylhexyltris-(dimethylamino)phosphonium hexafluorophosphate in DMF at ambient temp. for 2 h to give 2-[(1-(aminooxyacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]-N-(phenoxy)acetamide (II). II in vitro showed IC50 of 9.012.0 nM against sPLA2.

IT 331440-80-3P 331440-82-5P 331440-84-7P

331440-86-9P 331440-88-1P 331440-90-5P

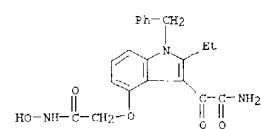
331440-92-7P 331440-95-0P 331440-97-2P

331440-99-4P 331441-01-1P 331441-03-3P

331441-05-5P 331441-07-7P 331441-09-9P

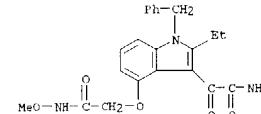
331441-15-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PEEP (Preparation); USES (Uses) (preparation of indole derivs. as human non-pancreatic secretory phospholipase A2 (sPLA2) inhibitors and inhibitors of sPLA2-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock)

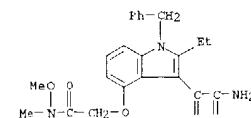
RN 331440-80-3 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxyamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)

RN 331440-82-5 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(methoxyamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)

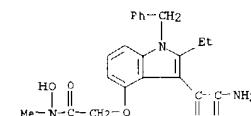
L7 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



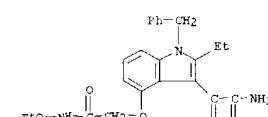
RN 331440-84-7 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(methoxymethylamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)



RN 331440-86-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxymethylamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)

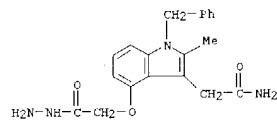


RN 331440-88-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-(ethoxyamino)-2-oxoethoxy]-2-ethyl- $\alpha$ -oxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)



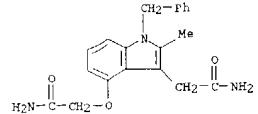


L7 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:227212 CAPLUS  
 DOCUMENT NUMBER: 135:4041  
 TITLE: A molecular modeling and 3D QSAR study of a large series of indole inhibitors of human non-pancreatic secretory phospholipase A2  
 AUTHOR(S): Bernard, Philippe; Pintore, Marco; Berthon, Jean-Yves; Chretien, Jacques R.  
 CORPORATE SOURCE: Laboratory of Chemometrics and BioInformatics, University of Orleans, Orleans, 45067, Fr.  
 SOURCE: European Journal of Medicinal Chemistry (2001), 36(1), 1-19  
 CODEN: EJMCAS; ISSN: 0223-5234  
 PUBLISHER: Editions Scientifiques et Medicinales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Automated docking allowing protein-based alignment was performed for a series of 198 indole inhibitors of the human non-pancreatic secretory phospholipase A2 (hnp-PLA2). All the substituted indoles were docked to the crystal structure of hnp-PLA2 and a three-dimensional QSAR model was then established using the CoMFA method. The set of 198 compds. was divided into two subsets, the first one constituting the training set (126 compds.), while the second constituted the test set (62 compds.). The established CoMFA model derived from the training set was then applied to the test set. A good correlation between predicted and exptl. activity data allows to validate the 3D QSAR model. A second and global 3D QSAR including all the compds. was established, allowing the creation of the hnp-PLA2 pharmacophore.  
 IT 164083-87-8 164083-86-2 165298-58-2  
 344741-31-7 344741-32-8  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); FPI (Properties); BICL (Biological study)  
 (a mol. modeling and 3D QSAR study of a large series of indole inhibitors of human non-pancreatic secretory phospholipase A2)  
 RN 164083-87-8 CAPLUS  
 CN Acetic acid, [(1-(2-amino-2-oxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl]oxy-, hydrazide (9CI) (CA INDEX NAME)

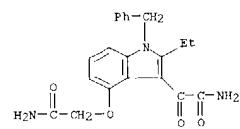


RN 164083-88-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

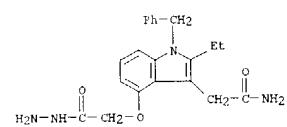
L7 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



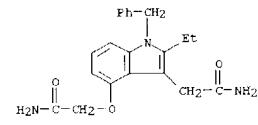
RN 185298-58-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-ethyl-1-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 344741-31-7 CAPLUS  
 CN Acetic acid, [(1-(2-amino-2-oxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy-, hydrazide (9CI) (CA INDEX NAME)



RN 344741-32-8 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-ethyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



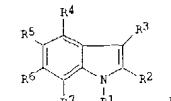
L7 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001:63070 CAPLUS  
 DOCUMENT NUMBER: 134:116236  
 TITLE: Preparation of indole amino acid derivatives as secretory phospholipase A2 (sPLA2) inhibitors  
 INVENTOR(S): Lin, Ho-Shen; Richett, Michael Enrico  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: FCT Int. Appl., 142 pp.  
 CODEN: PIIXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005761	A1	20010125	WO 2000-US16319	20000711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GR, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KH, KZ, LC, LX, LR, OS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GR, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, GF, CG, CI, CM, GA, GN, GW, ML, MB, NE, SN, TD, TG				
EP 1202963	A1	20020509	EP 2000-944673	20000711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, NO, MK, CY, AL				
JP 2003505372	T2	20030212	JP 2001-511422	20000711
US 6707282	B1	20040316	US 2001-18037	20011211
US 2003153770	A1	20030814	US 2002-260450	20020927
US 6638570	E2	20031021		

PRIORITY APPLN. INFO.: US 1999-144502P P 19990719  
 WO 2000-US16319 W 20000711  
 US 2001-18037 A3 20011211

OTHER SOURCE(S): MARPAT 134:116236  
 GI



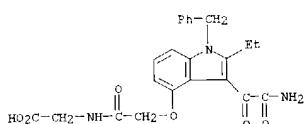
AB Indole derivat. I (R1 = (un)substituted alkyl, haloalkyl, alkenyl, alkynyl, carbocyclicly, or heterocyclicly connected directly or via a divalent linking group to the indole ring; R2 is H or a group containing 1-4 non-hydrogen atoms plus any required hydrogen atoms; R3 is -L3-Z, where L3 is a bond, CH2, O, S, NH, or CO and Z is -C(NORa)C(:X)NH2, -C(:X)CONH2, or CRa2C(:X)NH2 (X = O or S and Ra = alkyl, aryl, alkaryl, alkoxy, aralkyl, CN); R4 is the group - (Lc) - (acylamino acid linker), where Lc is an acylamino acid linker;

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 R5 is H, a non-interfering substituent, or the group -(Ls)-(acidic group), where Ls is an acid linker; R6, R7 = H, a non-interfering substituent or (un)substituted carboxylic acid were prepared for inhibiting cPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, treatment of N-tert butyloxycarbonyl-3-methoxy-2-methylaniline with N-methoxy-N-methylpropanamide and then trifluoroacetic acid afforded 2-ethyl-4-methoxy-1H-indol-1-ylmethyl-N-benzylation, O-demethylation, alkylation with Me bromoacetate, reaction with oxallyl chloride and ammonia gave [(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetic acid Me ester (1). Reaction of 1 with glycine Me ester hydrochloride and saponification afforded N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl)glycine (3a). Compds. 1 and 3a resp. showed IC50 values of 71 nM for inhibition of human secreted PLA2.

IT 321153-17-7 321153-19-9P 321153-21-3P  
 321153-23-5P 321153-25-7P 321153-27-9P  
 321153-29-1P 321153-31-5P 321153-33-7P  
 321153-35-9P 321153-36-0P 321153-38-2P  
 321153-40-6P 321153-42-8P 321153-44-0P  
 321153-46-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of indole amino acid derivs. as secretory phospholipase A2 (sPLA2) inhibitors)

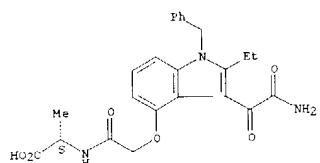
RN 321153-17-7 CAPLUS  
 CN L-Alanine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)



RN 321153-19-9 CAPLUS  
 CN L-Alanine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

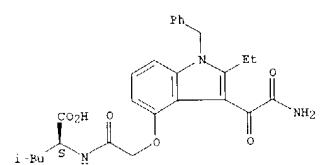
Absolute stereochemistry.

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



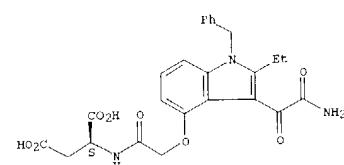
RN 321153-21-3 CAPLUS  
 CN L-Leucine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



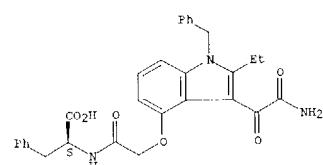
RN 321153-23-5 CAPLUS  
 CN L-Aspartic acid, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

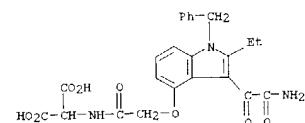


RN 321153-25-7 CAPLUS  
 CN L-Phenylalanine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 Absolute stereochemistry.

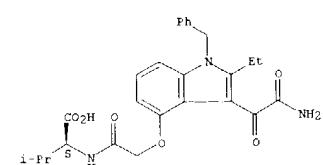


RN 321153-27-9 CAPLUS  
 CN Propanedioic acid, [(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)



RN 321153-29-1 CAPLUS  
 CN L-Valine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

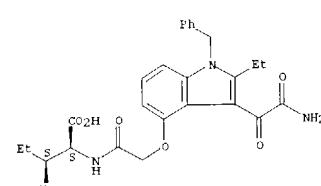
Absolute stereochemistry.



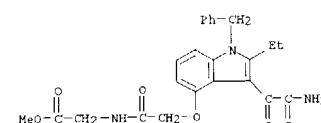
RN 321153-31-5 CAPLUS  
 CN L-Isoleucine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

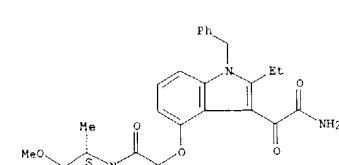


RN 321153-33-7 CAPLUS  
 CN Glycine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl-, methyl ester (9CI) (CA INDEX NAME)



RN 321153-35-9 CAPLUS  
 CN L-Alanine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl-, methyl ester (9CI) (CA INDEX NAME)

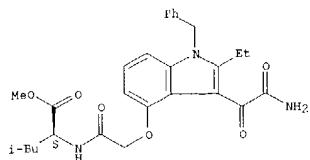
Absolute stereochemistry.



RN 321153-36-0 CAPLUS  
 CN L-Leucine, N-[(3-(aminooxoacetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl-, methyl ester (9CI) (CA INDEX NAME)

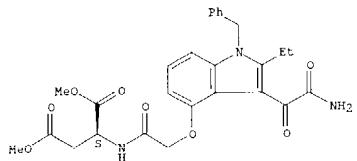
Absolute stereochemistry.

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



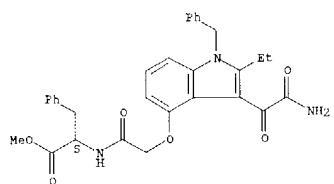
RN 321153-38-2 CAPLUS  
 CN L-Aspartic acid, N-[[[3-(aminoacetoxyethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxyacetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

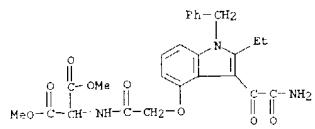


RN 321153-40-6 CAPLUS  
 CN L-Phenylalanine, N-[[[3-(aminoacetoxyethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxyacetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

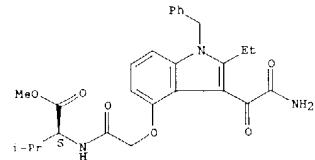


RN 321153-42-8 CAPLUS  
 CN Propanedinitic acid, [[[3-(aminoacetoxy)-2-ethyl-1-(phenylmethyl)-1H-

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 indol-4-yl]oxyacetyl]amino]-, dimethyl ester (9CI) (CA INDEX NAME)

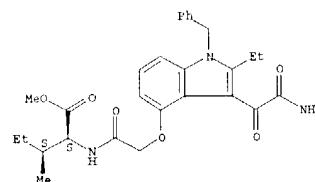
RN 321153-44-0 CAPLUS  
 CN L-Valine, N-[[[3-(aminoacetoxyethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxyacetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321153-46-2 CAPLUS  
 CN L-Isoleucine, N-[[[3-(aminoacetoxyethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxyacetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L7 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 20000441704 CAPLUS

DOCUMENT NUMBER: 133:79346

TITLE: Preparation of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents

INVENTOR(S): Bach, Nicholas James; Harper, Richard Waltz; Kinnick, Michael Dean; Lin, Ho-Shen; Morin, John Michael, Jr.; Rickett, Michael Enrico

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: WCT Int. Appl., 86 pp.

CODEN: PIKBD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037359	A1	20000629	WO 1999-US30405	19991220
W: AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LK, LH, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, XZ, MD, RU, TJ, TM, RW, GH, OM, KE, LS, MW, SD, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, PT, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, RJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		CA 1999-2356159	19991220	
CA 2356159	AA	20000629	CA 1999-2356159	19991220
EP 1144305	A1	20011017	EP 1999-967465	19991220
R: AT, BE, CH, DE, DK, ES, FR, GR, GR, IT, LI, LU, NL, SE, MC, PT, LE, SI, LT, LV, FI, RO				
JP 2002532571	T2	20021002	JP 2000-599439	19991220
US 6301908	BI	20020531	US 2001-856942	20010530
PRIORITY APPLN. INFO.: US 1998-113303P T 19981222				
			WO 1999-US30405	W 19991220

OTHER SOURCE(S): MARPAT 133:79346

AB Indole derivs. are disclosed together with the use of such compds. for inhibiting human nonpancreatic secretory phospholipase A2 (sPLA2)-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, 2-[[3-[[2-(Aminooxo)-1-(N-hydroxymino)ethyl]-2-ethyl-(phenylmethyl)-1H-indol-4-yl]oxyacetic acid (I) was prepared by the hydrolysis of the corresponding ester with LiOH solution in THF. Thus, tablets contained 250 mg microcryst. cellulose 400, fumed siO2 10 and stearic acid 5 mg/tablet.

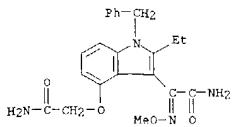
IT 278601-74-4P 278601-75-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, Unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTO (Biological study); PREP (Preparation); UCES (Uses); [preparation] (Indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents)

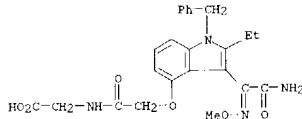
RN 278601-74-4 CAPLUS

CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-ethyl- $\alpha$ -(methoxyimino)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

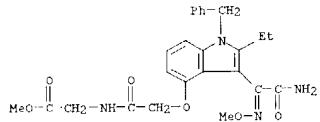
L7 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 278601-75-5 CAPLUS  
 CN Glycine, N-[[3-[2-amino-1-(methoxyimino)-2-oxoethyl]-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl- (9CI) (CA INDEX NAME)



IT 278601-76-6  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PACT (Reactant or reagent)  
 (preparation of indoles as secretory phospholipase A2 inhibitors as anti-inflammatory agents)  
 RN 278601-76-6 CAPLUS  
 CN Glycine, N-[[3-[2-amino-1-(methoxyimino)-2-oxoethyl]-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl]oxy]acetyl-, methyl ester (9CI) (CA INDEX NAME)

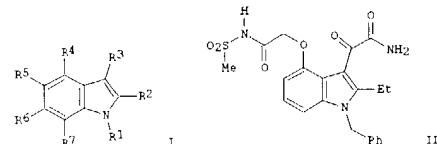


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:116896 CAPLUS  
 DOCUMENT NUMBER: 132:151679  
 TITLE: Preparation of indole sPLA2 inhibitors  
 INVENTOR(S): Mihelich, Edward David; Phillips, Michael Leroy; Warshawsky, Alan M.  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007591	A1	20000217	WO 1999-US17460	19990802
W: AE, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338727	AA	20000217	CA 1999-2338727	19990802
AU 9953314	A1	20000228	AU 1999-53314	19990802
EP 1100493	EP	20010523	EP 1999-938937	19990802
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522386	T2	20020723	JP 2000-563276	19990802
US 6608099	BI	20030419	US 2001-762070	20010130
US 2003191175	A1	20031009	US 2003-395657	20030321
PRIORITY APPLN. INFO.:			US 1998-95109P	P 19980803
			WO 1999-US17460	W 19990802
			US 2001-762070	A3 20010130

OTHER SOURCE(S): MARPAT 132:151679  
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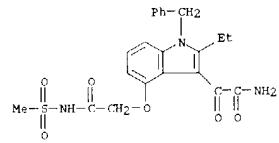


AB The title compds. [I; R1 = alkyl, haloalkyl, alkenyl, etc.; R2 = H, a

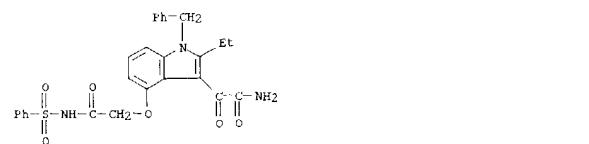
L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 group contg. 1-4 non-hydrogen atoms; R3 = LS- (wherein LS = CH2, O, S, NH, CO; Z = acetamide, thioacetamide, glyxylamide, etc.); R4, RS = H, non-interfering substituent, La-acylsulfonamide (La = a divalent linker having a linker length of 1-8; provided that at least one of R4 and RS must be La-acylsulfonamide); R6, R7 = H, cycloalkyl, heterocyclyl, etc., useful for inhibiting sPLA2 mediated release of fatty acids for treatment of inflammatory diseases such as septic shock, were prep'd. and formulated. Thus, reacting 1-benzyl-2-ethyl-4-carboxymethylxoy-indole-3-glyxylamide (prep'd. given) with methanesulfonamide in the presence of 4-dimethylaminopyridine and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in CH2Cl2 afforded 19% II which showed IC50 of 12 nM against human secreted PLA2.

IT 258262-49-6P 258262-50-6P 258262-51-0P  
 258262-52-1P 258262-53-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); PACT (Preparation of indole sPLA2 inhibitors)

RN 258262-49-6 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[(methylsulfonyl)amino]-2-oxoethoxy]-  
 -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

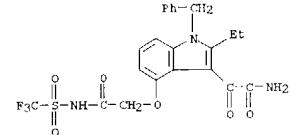


RN 258262-50-9 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(phenylsulfonyl)amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

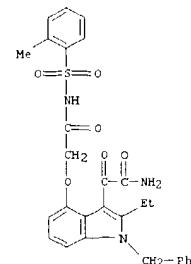


RN 258262-51-0 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl- $\alpha$ -oxo-4-[2-oxo-2-[(trifluoromethyl)sulfonyl]amino]ethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



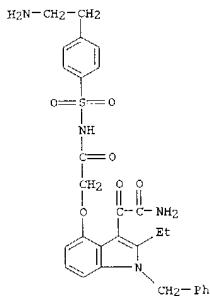
RN 258262-52-1 CAPLUS  
 CN 1H-Indole-3-acetamide, 2-ethyl-4-[2-[(2-methylphenyl)sulfonyl]amino]-2-oxoethoxy]-  
 -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 258262-53-2 CAPLUS  
 CN 1H-Indole-3-acetamide, 4-[2-[[4-(2-aminoethyl)phenyl]sulfonyl]amino]-2-oxoethoxy]-2-ethyl- $\alpha$ -oxo-1-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

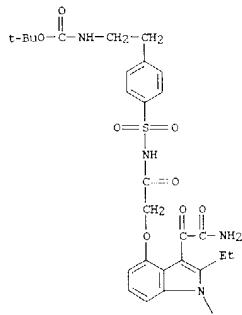


IT 258262-55-4P  
RL: RCT (Reactant); SPP (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of indole- $\alpha$ PLA2 inhibitors)

RN 258262-55-4 CAPLUS  
CN Carbamic acid, [2-{4-[(3-(aminooxycetyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]acetyl}amino]sulfonylphenyl]ethyl]-, 1,1-dimethylethyl ester (SCT) (CA INDEX NAME)

L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

CH2-Ph

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:713060 CAPLUS

DOCUMENT NUMBER: 126:69724

TITLE: Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 3. Indole-3-glyoxamides  
AUTHOR(S): Drsheim, Susan E.; Bach, Nicholas J.; Dillard, Robert D.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.

CORPORATE SOURCE: Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5159-5175

PUBLISHER: CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: American Chemical Society

LANGUAGE: English

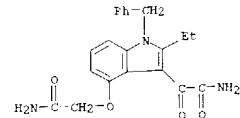
AB The preceding papers of this series detail the development of functionalized indole-3-acetamides as inhibitors of hPLA2. We describe here the extension of the structure-activity relationship to include a series of indole-3-glyoxamide derivs. Functionalized indole-3-glyoxamides with an acidic substituent appended to the 4- or 5-position of the indole ring were prepared and tested as inhibitors of hPLA2. It was found that the indole-3-glyoxamides with a 4-oxoacetic acid substituent had optimal inhibitory activity. These inhibitors exhibited an improvement in potency over the best of the indole-3-acetamides, and LY315920 (6m) was selected for evaluation clin. as an hPLA2 inhibitor.

IT 185298-58-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPP (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and SAR of indoleglyoxamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 185298-58-2 CAPLUS

CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-ethyl- $\alpha$ -oxo-1-(phenylmethyl)- (SCT) (CA INDEX NAME)



L7 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:713069 CAPLUS

DOCUMENT NUMBER: 126:84068

TITLE: Indole Inhibitors of Human Nonpancreatic Secretory Phospholipase A2. 2. Indole-3-acetamides with Additional Activity

AUTHOR(S): Dillard, Robert D.; Bach, Nicholas J.; Drsheim, Susan E.; Berry, Dennis R.; Carlson, Donald G.; Chirgadze, Nickolay Y.; Clawson, David K.; Hartley, Lawrence W.; Johnson, Lea M.; et al.

CORPORATE SOURCE: Lilly Corporate Center, Eli Lilly and Company, Indianapolis, IN, 46285, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(26), 5137-5158

PUBLISHER: CODEN: JMCMAR; ISSN: 0022-2623  
DOCUMENT TYPE: American Chemical Society

LANGUAGE: English

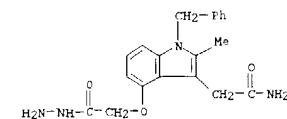
AB As reported in our previous paper, a series of indole-3-acetamides which possessed potency and selectivity as inhibitors of human nonpancreatic secretory phospholipase A2(hPLA2) was developed. The design of these compds. was based on information derived from x-ray crystal structures determined for complexes between the enzyme and its inhibitors. We describe here the further implementation of this structure-based design strategy and continued SAR development to produce indole-3-acetamides with addnl. functionalities which provide increased interaction with important residues within the enzyme active site. These efforts led to inhibitors with substantially enhanced potency and selectivity.

IT 164083-87-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPP (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and SAR of indoleacetamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 164083-87-8 CAPLUS

CN Acetic acid, [(3-(2-amino-2-oxoethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]-, hydrazide (SCT) (CA INDEX NAME)



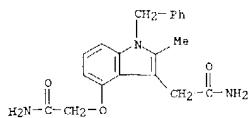
IT 164083-88-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPP (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and SAR of indoleacetamides as inhibitors of human nonpancreatic secretory phospholipase A2)

RN 164083-88-9 CAPLUS

CN 1H-Indole-3-acetamide, 4-(2-amino-2-oxoethoxy)-2-methyl-1-(phenylmethyl)- (SCT) (CA INDEX NAME)

L7 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L7 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1995:621499 CAPLUS  
 DOCUMENT NUMBER: 123:32954  
 TITLE: Preparation of 1H-indole-3-acetamides as sPLA2 inhibitors.  
 INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane; Draheim, Susan Elizabeth; Hermann, Robert Fell; Schevitz, Richard Walter  
 PATENT ASSIGNEE(S): Eli Lilly and Co., USA  
 SOURCE: Eur. Pat. Appl., 123 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 620215	A1	19941019	EP 1994-302666	19940414
EP 620215	B1	19950918		
R: AT, BE, CH, DE, DK, ES, FR, GR, IE, IT, LI, LU, NL, PT, SE				
HU 70836	A2	19951128	HU 1994-1060	19940413
CA 2121323	AA	19941017	CA 1994-2121323	19940414
FR 3401482	A	19941018	FR 1994-1482	19940414
AT 183503	E	19950915	AT 1994-302666	19940414
ES 2138648	T3	20000116	ES 1994-302666	19940414
CZ 289750	R6	20020313	CZ 1994-893	19940414
FI 9401767	A	19941017	FI 1994-1767	19940415
NO 9401361	A	19941017	NO 1994-1361	19940415
AU 9459492	A1	19941020	AU 1994-59492	19940415
AU 676884	E2	19970327		
JP 07025850	A2	19950127	JP 1994-77650	19940415
CN 1098715	A	19950215	CN 1994-104434	19940415
CN 1058588	R	20010718		
ZA 9402615	A	19951016	ZA 1994-2615	19940415
RU 2162463	C2	20010127	RU 1994-12930	19940415
PL 181319	B1	20010731	PL 1994-303028	19940415
US 5684034	A	19971104	US 1995-435256	19950505
US 6252084	B1	20010626	US 1997-962603	19971031
GR 3031783	T3	20000229	GR 1999-402875	19991108
PRIORITY APPN. INFO.:			US 1993-48629	A 19930416
			US 1994-208721	A 19940315
			US 1995-435256	A1 19950505

OTHER SOURCE(S): MARPAT 123:32954

GI

L7 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB: Title compds. [I; R1 = (cyclo)alkyl, alkenyl, aryl, alkylamino, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R3 = H, halo, Me; R4-R7 = H, (cyclo)alkyl, aryl(alkyl), alkoxy, etc.; X = O or S] were prepared. Thus, 1-(2-tert-butoxycarbonylaminoc-5-methoxyphenyl)-2-butanone (preparation from 4-methoxy-2-methylaniline given) was cyclized and the product alkylated by EtCH<sub>2</sub>CO<sub>2</sub>Me to give, in 4 addnl. steps, I (R1 = CH<sub>2</sub>H, R2 = Et, R3 = R4 = R6 = R7 = H, R5 = OR, X = O) (II; R = H) which was condensed with R6 = R7 = H, R5 = OR, X = O) to give, after saponification, II (R = (CH<sub>2</sub>)<sub>3</sub>P(O)(OH)<sub>2</sub>) (III; R = (CH<sub>2</sub>)<sub>3</sub>P(O)(OH)<sub>2</sub>).

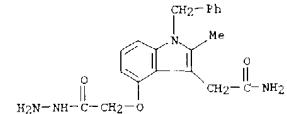
The latter had IC<sub>50</sub> of 0.02μM against human sPLA2 in vitro.

PN: 164083-87-8P 164083-88-9P

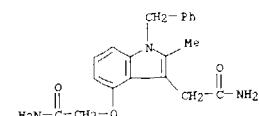
IT: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of 1H-indole-3-acetamides as sPLA2 inhibitors.)

RN: 164083-87-8 CAPLUS

CN: Acetic acid, [(3-(2-amino-2-oxethyl)-2-methyl-1-(phenylmethyl)-1H-indol-4-yl)oxy]-hydrazide (9CI) (CA INDEX NAME)



PN: 164083-88-9 CAPLUS  
 CN: 1H-Indole-3-acetamide, 4-(2-amino-2-oxethoxy)-2-methyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

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COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	77.42	274.97
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	-11.09	-11.09

FILE 'REGISTRY' ENTERED AT 08:33:30 ON 22 MAY 2004  
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Property values tagged with IC are from the ZIC/VINITI data file  
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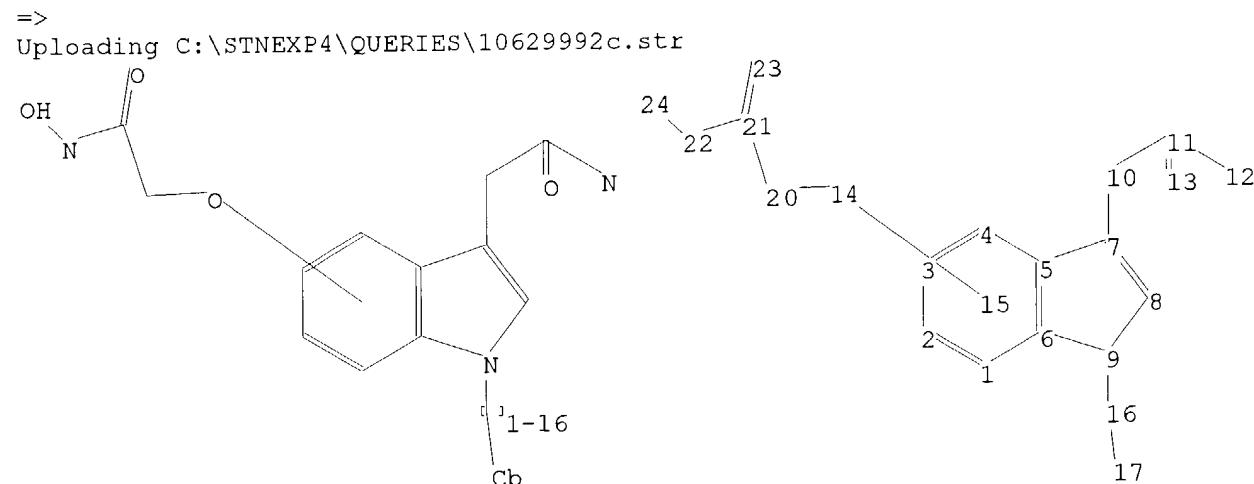
STRUCTURE FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2  
DICTIONARY FILE UPDATES: 20 MAY 2004 HIGHEST RN 684211-73-2

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

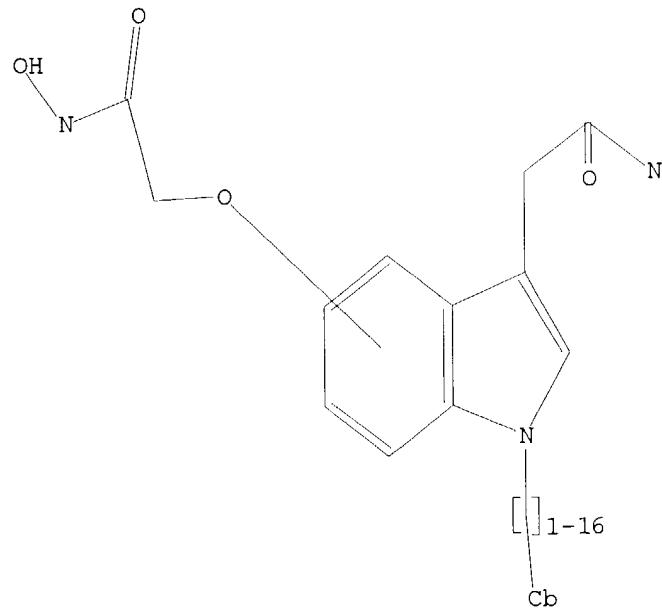


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ring bonds :  
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exact/norm bonds :  
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normalized bonds :  
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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 20:CLASS  
21:CLASS 22:CLASS 23:CLASS 24:CLASS
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L8 STRUCTURE UPLOADED

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L8 HAS NO ANSWERS  
L8 STR



Structure attributes must be viewed using STN Express query preparation.

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FILE 'REGISTRY' ENTERED AT 08:29:51 ON 22 MAY 2004

L1                   STRUCTURE UPLOADED  
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L3                   1067 S L1 FULL  
L4                   STRUCTURE UPLOADED  
L5                   62 S L4 FULL SUB=L3  
L6                   62 S L5 AND CAPLUS/LC

FILE 'CAPLUS' ENTERED AT 08:31:32 ON 22 MAY 2004

L7                   16 S L6

FILE 'REGISTRY' ENTERED AT 08:33:30 ON 22 MAY 2004

L8                   STRUCTURE UPLOADED

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COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID

The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

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FULL SUBSET SCREEN SEARCH COMPLETED -       37 TO ITERATE

100.0% PROCESSED       37 ITERATIONS  
SEARCH TIME: 00.00.01

6 ANSWERS

L9                   6 SEA SUB=L5 SSS FUL L8

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
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FULL ESTIMATED COST

37.49

312.46

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 22 May 2004 VOL 140 ISS 22  
FILE LAST UPDATED: 21 May 2004 (20040521/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

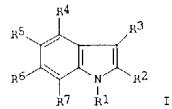
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L10          1 L9
=> d ibib abs hitstr
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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2001228957 CAPLUS  
 DOCUMENT NUMBER: 134:252258  
 TITLE: Preparation of indole derivatives as human non-pancreatic secretory phospholipase A2 (sPLA2) inhibitors  
 INVENTOR(S): Harpe, Richard Waltz; Lin, Ho-Shen; Richett, Michael  
 Enrico  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PXXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021587	A2	20010329	WO 2000-US20816	20000907
WO 2001021587	A3	20011011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BS, BG, BY, EZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, BE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TR, TZ, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, WG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GS, GR, IE, IT, LU, MC, NL, PT, SE, BF, FJ, CF, CG, CI, CH, GN, GW, ML, MR, NE, SN, TD, TG, EP 1220816	A2	20020710	EP 2000-959170	20000907
EP 1220816	A3	20030311	EP 2001-524967	20000907
IT 331441-07-3	A2	20040212	US 1999-154876P	P 19990920
JP 2003509491	T2		US 2003-629992	20030370
US 2004029946	A1		WO 2000-US20816	W 20000907
PRIORITY APPLN. INFO.:			US 2002-69824	A3 20020221

OTHER SOURCE(S): MARPAT 134:252258

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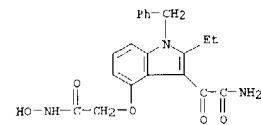


AB A class of novel indole represented by formula [I]; R1 is selected from groups (a), (b), and (c) wherein: (a) is C7-20 alkyl, C7-20 haloalkyl, C7-20 alkenyl, C7-20 alkynyl, carbocyclic radical, or heterocyclic radical, or (b) is a member of (a) substituted with one or more independently selected non-interfering substituents; or (c) is (a) or (b)

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 group linked to a divalent linking group of 1 to 8 atoms; R2 is hydrogen, or a group contg. 1 to 4 nonhydrogen atoms plus any required hydrogen atoms; R3 is -(L3)-Z, where (L3) is a divalent linker group selected from a bond or a divalent group selected from: CH2, O, S, NH, CO and Z is selected from a group represented by the formulas, C(:NORA)C(:X)NH2, C(:X)CONH2, C(Ra)2C(:X)NH2 or wherein, X is oxygen or sulfur; and Ra is selected from hydrogen, C1-8 alkyl, aryl, C1-8 alkaryl, C1-8 alkoxy, aralkyl and cyano; R4 is the group, -(L4) (hydroxyfunctional amide); wherein (L4) is an hydroxyfunctional amide linker having an hydroxyfunctional amide linker length of 1 to 8; R5 is selected from hydrogen, a non-interfering substituent, or the group, -(La)-(acidic group); wherein -(La)-, is an acid linker having an acid linker length of 1 to 8; R6 and R7 are selected from hydrogen, non-interfering substituent, carbocyclic radical, carbocyclic radical substituted with non-interfering substituent(s), heterocyclic radicals, and heterocyclic radical substituted with non-interfering substituent(s)] is prep'd. These compds. inhibit sPLA2-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock. Thus, [(3-(2-amino-1,2-dioxoethyl)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxylacetic acid Me ester was condensed with O-phenylhydroxylamine hydrochloride in the presence of collidine and benzotriazol-1-yloxycarbonyl-phosphonium hexafluorophosphate in DMF at ambient temp. for 2 h to give 2-[(3-(aminoacetoxy)-2-ethyl-1-(phenylmethyl)-1H-indol-4-yl)oxyl-N-(phenylmethyl)-acetamide (II). II in vitro showed IC50 of 9.012.0 nM against sPLA2.

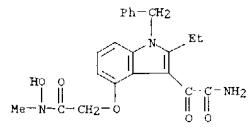
IT 331441-80-39 331440-86-9P 331440-92-7P  
 RN: 331441-07-3 331441-09-9P 331441-15-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BTOL (Biological study); PREF (Preparation); USES (Uses); (preparation of indole derivs. as human non-pancreatic secretory phospholipase A2 (sPLA2) inhibitors and inhibitors of sPLA2-mediated release of fatty acids for treatment of inflammatory diseases such as septic shock)

RN: 331440-80-3 CAPLUS  
 CN: 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxyamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

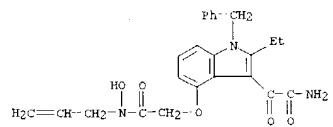


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 CN: 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxymethylamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

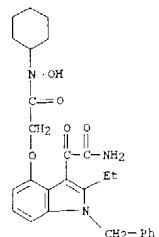
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN: 331440-92-7 CAPLUS  
 CN: 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxy-2-propenylamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

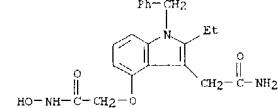


RN: 331441-07-7 CAPLUS  
 CN: 1H-Indole-3-acetamide, 4-[2-(cyclohexylhydroxylamino)-2-oxoethoxy]-2-ethyl- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

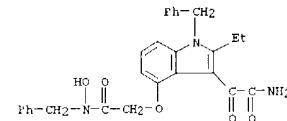


RN: 331441-09-9 CAPLUS  
 CN: 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxylamino)-2-oxoethoxy]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN: 331441-15-7 CAPLUS  
 CN: 1H-Indole-3-acetamide, 2-ethyl-4-[2-(hydroxylamino)-2-oxoethoxy]- $\alpha$ -oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



=> log y

COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	6.95	319.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.69	-11.78

STN INTERNATIONAL LOGOFF AT 08:38:04 ON 22 MAY 2004